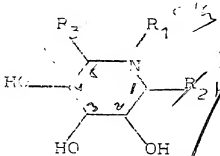


WHAT IS CLAIMED IS:

1. A compound which is a 3,4,5-trihydroxypiperidine of the following general formula or its pharmaceutically acceptable bioprecursor:



in which

R₁ and R₂ are the same or different and each is hydrogen or an optionally substituted, straight-chain, branched or cyclic saturated or unsaturated aliphatic hydrocarbon radical or an optionally substituted aryl, heteroaryl or heterocyclic radical, and

R_2 is -H, -OH, -OR', -SH, -SR', -NH₂, -NHR', -NR'₂, -CH=CH-, -C(CH₃)=CH-, -C≡C-, -C(R')=C(R''), -NR'-R''-CH₂-, -COOH, -SO₂H.

$$\begin{aligned} & \text{HO}-\text{CH}_2-\text{CO}-\text{NHCH}_2-, \text{R}'\text{CO}-\text{NR}''\text{CH}_2-, \text{R}'\text{SO}_2-\text{NCH}_2- \\ & \text{R}'\text{SO}_2-\text{NR}''\text{CH}_2, \text{R}'\text{NH}-\overset{\text{O}}{\underset{\text{||}}{\text{C}}}-\text{NH}-\text{CH}_2-, \text{R}'\text{NH}-\overset{\text{S}}{\underset{\text{||}}{\text{C}}}-\text{NH}-\text{CH}_2- \end{aligned}$$
$$R'-O-\underset{\text{C=O}}{\text{C}}-\text{NH}-\text{CH}_2-, /-\text{SO}_3\text{H}, -\text{CN}, -\text{CONH}_2, -\text{CONHR}' \text{ or}$$

-CONR 'R', where/in

① R_1 and R'' are the same or different and each has any of the meanings given above for R_1 , provided that when R_1 is $-\text{CH}_2\text{OH}$ and R_2 is H or OH ; R_3 is H and R_4 is H , CN , SO_2H , $-\text{CN}$ or $\text{CH}_2\text{-NH}_2$; or R_3 is $-\text{CH}_2\text{-NH}_2$ and R_4 is OH , then R_1 is other than hydrogen.

2. A compound according to claim 1, in which R_1 , R' and R'' are the same or different and each is alkyl having from 1 to 30 C atoms, alkenyl or alkynyl having from 2 to 18 C atoms, a monocyclic, bicyclic or tricyclic radical having from 3 to 10 C atoms, which is saturated, mono-unsaturated or di-unsaturated, aryl having 6 or 10C atoms, or a heterocyclic radical having from 3 to 8 ring members which contains 1, 2, 3 or 4 heteroatoms and to which a benzene ring or a further said heterocyclic radical can be fused, each of the above groups being optionally substituted by from 1 to 5 substituents.

3. A compound according to claim 1 or claim 2 in which R_1 is $-H$, $-CH_3$, $-CH_2OH$, $-CH_2NH_2$, $NHR'-CH_2-$, $NR'R''-CH_2-$, $R'CONH-CH_2-$, $R'CO-NR''CH_2-$, $Hal-CH_2-$, $R'O-CH_2-$, $R'COOCH_2-$, $R'SO_2O-CH_2-$, $R'SO_2NHCH_2-$, $R'SO_2-NR''CH_2-$, $R'NH-CO-NH-CH_2-$, $R'NHCS-NH-CH_2-$, $R'O-CO-NH-CH_2-$, $-CN$, $-COOH$, $-COOR'$, $-CONH_2$, $-CONHR'$ or $-CONR'R''$ wherein R' and R'' are the same or different and each has any of the meanings given above for R_1 .

4. A compound according to claim 1 in which R_2 is $-H$, $-OH$, $-SO_3H$, $-N$, $-CH_2NH_2$, $-CH_2NH-[C_1 \text{ to } C_{14}\text{-alkyl}]$, $-CH_2NH-C(=O)-[C_1 \text{ to } C_{14}\text{-alkyl}]$, $-CH_2NH-SO_2-[C_1 \text{ to } C_{14}\text{-alkyl}]$, $-CH_2NH-SO_2\text{-phenyl}$, $-CH_2NH-C(=O)\text{-phenyl}$, $-CH_2NH-C(=O)NH[C_1 \text{ to } C_{14}\text{-alkyl}]$, $-CH_2NH-C(=O)NH\text{-phenyl}$, $-CH_2NH-C(=O)NH[C_1 \text{ to } C_{14}\text{-alkyl}]$, $-CH_2NH-C(=O)NH\text{-phenyl}$, $-CH_2NH-C(=O)-[C_1 \text{ to } C_{14}\text{-alkyl}]$ or $-CH_2NH-C(=O)\text{-phenyl}$ wherein phenyl is unsubstituted or substituted by methyl, ethyl, methoxy, ethyl, methoxy, chlorine, bromine or nitro.

B 3-14-86
5. A compound according to claim ~~4~~⁴⁷⁻¹, in which R_2 is -H, -SO₃H or -CN.

B 3-24-86
6. A compound according to claim 5 in which R_2 is -H.

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7. A compound according to claim ~~1~~⁴⁷⁻¹, in which R_3 is -H, -CH₂OH, -CH₃, -CH₂NH₂, -CH₂NH-(C₁ to C₆-alkyl)-, -CH₂NH-CO-(C₁ to C₆-alkyl) or CH₂-O-(C₁-C₆-alkyl).

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8. A compound according to claim ~~1~~⁴⁷⁻¹ in which R_3 is -CH₂OH.

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9. A compound according to claim ~~1~~⁴⁷⁻¹ in which R_2 is hydrogen and R_3 is -CH₂OH.

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10. A compound according to claim ~~1~~⁴⁷⁻¹ which is N-methyl-1-nojirimycin, N-ethyl-1-nojirimycin, N-n-butyl-1-nojirimycin, N-benzyl-1-nojirimycin, N-allyl-1-nojirimycin, N-(3-methoxy-ethyl)-1-nojirimycin, N-methyl-1-desoxy-nojirimycin, N-ethyl-1-desoxy-nojirimycin, N-n-butyl-1-desoxy-nojirimycin, N-n-pentyl-1-desoxy-nojirimycin, N-n-hexyl-1-desoxy-nojirimycin, N-iso-butyl-1-desoxy-nojirimycin, N-propyl-1-desoxy-nojirimycin, N-allyl-1-desoxy-nojirimycin, N-(3-methoxyethyl)-1-desoxy-nojirimycin, N-methyl-1-desoxy-nojirimycin-1-sulfonic acid, N-octyl-1-desoxy-nojirimycin, N-nonyl-1-desoxy-nojirimycin, 1-tosylaminomethyl-1-desoxy-nojirimycin, N-methyl-1-tosylaminomethyl-1-desoxy-nojirimycin, N-nonyl-1-tosylaminomethyl-1-desoxy-nojirimycin, N-ethyl-1-benzoylaminomethyl-1-desoxy-nojirimycin, N-propargyl-1-

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cat'd dexosynojirimycin or N-(2-methylmercaptoethyl)-1-desoxy-
noirimycin.

a3 ~~12~~ ⁴⁷⁻¹ A compound of claim ~~1~~ ^{N-ethyl} which is N-(n-ethyl)-
1-desoxynojirimycin.

a ~~12~~ ⁴⁷ A compound of claim ~~1~~ which is N-Methyl-1-
desoxynojirimycin.

a ~~13~~ ⁴⁷ A compound of claim ~~1~~ which is N-Ethyl-1-
desoxynojirimycin.

a ~~13~~ ⁴⁷⁻¹ A compound of claim ~~1~~ which is N-Benzyl-1-
desoxynojirimycin.

a ~~14~~ ⁴⁷ A compound of claim ~~1~~ which is N-(n-Butyl)-1-
desoxynojirimycin.

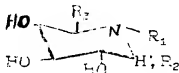
a ~~14~~ ⁴⁷⁻¹ A compound of claim ~~1~~ which is N-(2-Hydroxy-
ethyl)-1-desoxynojirimycin.

17. A compound according to claim 1 other than said bioprecursors in which

R_1 is an optionally substituted straight-chain, branched or cyclic saturated or unsaturated aliphatic hydrocarbon radical or an optionally substituted aromatic or heterocyclic radical and R_2 is H, OH, alkoxy, amino, monoalkylamino or dialkylamino, $-SO_3H$ or $-CN$, and R_3 is CH_2OH .

~~17. A compound according to claim 1 other than~~

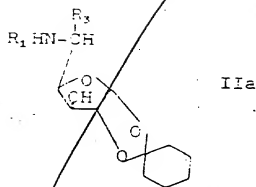
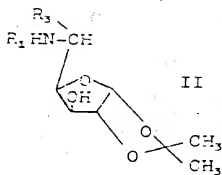
~~said bioprecursors~~ which has the steric formula



~~R_1 , R_2 and R_3 have the same meaning as defined~~

~~hereinbefore in claim 1.~~

19. A process for the production of a compound according to claim 1 which comprises subjecting to hydrolysis a compound of the general formula II or IIa

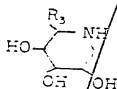


in which

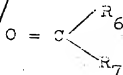
R_1 and R_3 have the same meaning as defined hereinbefore in claim 1, formula I,

a) so as to remove the isopropylidene or cyclohexylidene protective group; or

b) which comprises reacting, when R_2 is hydrogen, a compound of the general formula V



wherein R_3 has the same meaning as defined hereinbefore in claim 1, formula I, with a carbonyl compound of the general formula VI



in which

R_6 and R_7 are the same or different and each has the same meaning as indicated above for R_1 or R_6 and R_7 are members of an alicyclic or heterocyclic ring, in the presence of a hydrogen donor reducing agent, or c) which comprises reacting, when R_2 is hydrogen and R_1 is alkyl having the same meaning as in claim 1, formula I hereinabove, with a reactive alkylating agent of the general formula IX



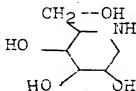
IX

in which

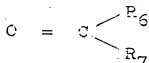
R_1 has the same meaning as defined immediately hereinbefore and

Z is an easily eliminated leaving group which is customary in alkylating agents.

20. A process for the production of a compound according to claim 17 in which compound R_2 is hydrogen, which comprises reacting a compound of the formula



a) with a carbonyl compound of the formula VI



VI

in which

R_6 and R_7 are the same or different and each is hydrogen or has the same meaning as indicated above for R_1 or R_6 and R_7 are members of an alicyclic or heterocyclic ring,

in the presence of a hydrogen donor reducing agent, or

b) with a reactive alkylating agent of the general formula IX



IX

in which

R_1 has the same meaning as defined immediately hereinbefore, and

Z is an easily eliminated leaving group which is customary in alkylating agents.

21. A process according to claim 19 a) in which the reaction is carried out at from ambient temperature to the reflux temperature of the reaction medium.

22. A process according to claim 19 b) in which the reaction is carried out at from ambient temperature to the reflux temperature of the reaction medium.

23. A process according to claim 19 in which the reaction is carried out in the presence of an inert solvent.

a 24. A pharmaceutical composition containing as an active ingredient an effective amount of a compound according to claim ⁴⁴1 in admixture with a solid or liquefied gaseous diluent or in admixture with a liquid diluent other than a solvent of a molecular weight less than 200 except in the presence of a surface-active agent.

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a 25. A pharmaceutical composition containing as an active ingredient an effective amount of a compound according to claim ⁴⁷1 in the form of a sterile or physiologically isotonic aqueous solution.

²⁰
~~26.~~ A composition according to claim ¹⁸~~24~~ or ¹⁹~~25~~ containing from 0.5 to 95% by weight of the said active ingredient.

27. A medicament in dosage unit form comprising
an effective amount of a compound according to claim 47
and an inert pharmaceutical carrier.

28. A medicament of claim 27 in the form of
tablets, pills, dragees, capsules, ampoules, or
suppositories.

29. A method of combating adiposity, diabetes and/or
hyperlipaemia
hyperlipaemia in warm-blooded animal which comprises
administering to the said animal an effective amount of
an active compound according to claim 47
in admixture with a diluent or in the form of a medicament.

30. A method according to claim 29 in which the
active compound is administered in an amount of 0.01 mg to 100 mg
per kg body weight per day.

31. A method according to claim 30 in which the
animal is a ruminant.

32. A method according to claim 29 in which the
active compound is administered orally.

33. An animal feedstuff which contains an effective
amount of an active compound according to claim 47
alone or in admixture with a diluent.

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34. A pharmaceutical composition containing as an active ingredient an effective amount of a compound according to claim ¹⁸17 in admixture with a solid or liquefied gaseous diluent or in admixture with a liquid diluent other than a solvent of a molecular weight less than 200 except in the presence of a surface-active agent.

1 part 45
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35. A pharmaceutical composition containing as an active ingredient an effective amount of a compound according to claim ¹⁸17 in the form of a sterile or physiologically isotonic aqueous solution.

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36. A medicament in dosage unit form comprising an effective amount of a compound according to claim ¹⁸17 and an inert pharmaceutical carrier.

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37. A medicament of claim ²⁵36 in the form of tablets, pills, dragees, capsules, ampoules, or suppositories.

1 part 36
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38. A method of combating adiposity, diabetes and/or hyperlipaemia in warm-blooded animals which comprises administering to the animals an effective amount of an active compound according to claim ¹⁸17 either alone or in admixture with a diluent or in the form of a medicament.

a 39. An animal feedstuff which contains an effective amount of an active compound according to claim ¹⁸~~17~~ either alone or in admixture with a diluent.

40. A pharmaceutical composition containing as an active ingredient an effective amount of a compound according to claim 18 in admixture with a solid or liquefied gaseous diluent or in admixture with a liquid diluent other than a solvent of a molecular weight less than 200 except in the presence of a surface-active agent.

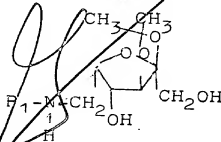
41. A pharmaceutical composition containing as an active ingredient an effective amount of a compound according to claim 18 in the form of a sterile or physiologically isotonic aqueous solution.

42. A medicament comprising an effective amount of a compound of claim 18 in the form of tablets, pills, dragees, capsules, ampoules, or suppositories.

43. A method of combating adiposity, diabetes and/or hyperlipaemia in warm-blooded animals which comprises administering to the animals an effective amount of an active compound according to claim 18 either alone or in admixture with a diluent or in the form of a medicament.

44. An animal feedstuff which contains an effective amount of an active compound according to claim 18 either alone or in admixture with a diluent.

45. A process for the production of a compound according to claim ⁴⁷1 which comprises hydrolyzing a compound of the general formula (XXI)



with strong mineral acid of pH 1 at -20 to +20°C and then hydrogenating the hydrolyzed product at pH 4 to 6 with H₂/Raney-Nickel, H₂/Pt O₂ or sodium borohydride.

15. A compound of claim ⁴⁷1 which is N-^{Hydroxy-n-pentyl}-(5'-hydroxypentyl)-1-desoxynojirimycin.